Claims

1. A pharmaceutical composition comprising a unit dosage form of rifalazil in an amount between 0.1 and 5 mg.

2. The pharmaceutical composition of claim 1, wherein said unit dosage comprises rifalazil in an amount between 0.1 and 3 mg.

3. The pharmaceutical composition of claim 2, wherein said unit dosage comprises rifalazil in an amount between 0.1 and 1 mg.

4. The pharmaceutical composition of claim 3, wherein said unit dosage comprises rifalazil in an amount between 0.2 and 0.8 mg.

5. The pharmaceutical composition of claims 1, wherein said unit dosage is a tablet, pill, capsule, or caplet.

6. A method of treating a bacterial infection in a patient, said method comprising administering rifalazil to said patient in an amount effective to treat said infection, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

7. The method of claim 6, wherein said infection is selected from the group consisting of a community-acquired pneumonia, upper and lower respiratory tract infection, skin and soft tissue infection, bone and joint infection, hospital-acquired lung infection, acute bacterial otitis media, bacterial pneumonia, complicated infection, noncomplicated infection, pyelonephritis, intra-abdominal infection, deep-seated abcess, bacterial sepsis, central nervous system infection,

bacteremia, wound infection, peritonitis, meningitis, infections after burn, urogenital tract infection, gastro-intestinal tract infection, pelvic inflammatory disease, endocarditis, and intravascular infection.

8. The method of claim 6, wherein rifalazil is administered for prophylaxis against an infection resulting from a surgical procedure or implantation of a prosthetic device.

9. The method of claim 6, wherein said infection is by a Gram-positive bacterium.

10. The method of claim 9, wherein said bacterium is a Gram-positive coccus.

11. The method of claim 10, wherein said Gram-positive coccus is drug-resistant.

12. The method of claim 11, wherein said infection is by a bacterium selected from the group consisting of *S. aureus*, *S. epidermidis*, *S. pneumoniae*, *S. pyogenes*, *Enterococcus spp.*, and *M. catarrhalis*.

13. The method of claim 6, wherein said infection is by multi-drug resistant bacteria in said patient.

14. The method of claim 13, wherein said multi-drug resistant bacteria are penicillin-resistant, methicillin-resistant, quinolone-resistant, macrolide-resistant, or vancomycin-resistant bacteria.

15. The method of claim 14, wherein said bacteria are selected from the group consisting of *Streptococcus pneumoniae*, *Staphylococcus aureus*, *Streptococcus pyogenes*, and *Enterococcus spp.*

16. The method of claim 6, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 3 mg of rifalazil.

17. The method of claim 16, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 2 mg of rifalazil.

18. The method of claim 17, wherein said rifalazil is formulated in unit dosages comprising between 0.2 and 0.8 mg of rifalazil.

19. The method of claim 6, wherein said rifalazil is formulated as a tablet, pill, capsule, or caplet.

20. A method of treating a bacterial infection in a patient, said method comprising administering to said patient between 0.1 and 10 mg of rifalazil over a period of four to fourteen days.

21. The method of claim 20, wherein between 0.1 and 5 mg of rifalazil is administered over a period of four to ten days.

22. A method of treating a bacterial infection in a patient, said method comprising administering to said patient between 0.1 and 5 mg of rifalazil daily for at least a period of two days.

23. The method of claim 22, wherein between 0.1 and 3 mg of rifalazil is administered daily for at least a period of five days.

24. The method of claim 23, wherein between 0.1 and 2.6 mg of rifalazil is administered daily for at least a period of ten days.

25. The method of claim 24, wherein between 0.1 and 1.6 mg of rifalazil is administered daily for at least a period of thirty days.

26. A method of treating a bacterial infection in a patient, said method comprising administering a loading-dose regimen of rifalazil to said patient.

27. The method of claim 26, wherein said loading-dose regimen comprises:

a) an initial administration of an average daily dose for 4 to 14 days;

b) following said initial administration, administration of less than half said average daily dose for 4 to 14 days.

28. The method of claim 26, wherein said loading-dose regimen comprises an average initial daily dose which is at least 200% of the average daily dose over any of the next two, three, four, or five subsequent dosing days.

29. The method of claim 26, wherein said loading-dose regimen comprises a dose administered on Day 1, said dose administered on Day 1 being at least 200% of the dose administered on any one of the next four dosing days.

30. A method for treating or preventing the development of an atherosclerosis-associated disease in a patient in need thereof, said method comprising administering rifalazil to said patient in an amount effective to treat or prevent the development of said atherosclerosis-associated disease in said patient, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

31. The method of claim 30, further comprising the step of administering to said patient an anti-inflammatory agent, antibacterial agent, platelet aggregation inhibitor, anticoagulant, antipyretic, or lipid-lowering agent.

32. The method of claim 31, wherein said patient is administered an anti-inflammatory agent.

33. The method of claim 32, wherein said anti-inflammatory agent is ibuprofen, meloxicam, celecoxib, rofecoxib, aspirin, dexamethasone, methylprednisolone, prednisolone, or prednisone.

34. The method of claim 31, wherein said patient is administered an antibacterial agent.

35. The method of claim 34, wherein said antibacterial agent is azithromycin, clarithromycin, erythromycin, gatifloxacin, levofloxacin, amoxicillin, or metronidazole.

36. The method of claim 31, wherein said lipid-lowering agent is a statin.

37. The method of claim 36, wherein said statin is atorvastatin, rosuvastatin, lovastatin, simvastatin, pravastatin, cerivastatin, or fluvastatin.

38. The method of claim 30, wherein said atherosclerosis-associated disease is coronary artery disease, myocardial infarction, angina pectoris, stroke, cerebral ischemia, intermittent claudication, gangrene, mesenteric ischemia, temporal arteritis, or renal artery stenosis.

39. The method of claim 30, wherein, prior to administration of said compound, said patient is diagnosed as having said atherosclerosis-associated disease.

40. A method of reducing the level of C-reactive protein in a patient identified as having increased levels of C-reactive protein, said method comprising administering rifalazil to said patient in an amount sufficient to reduce the level of C-reactive protein, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

41. The method of claim 40, wherein said method further comprises the step of periodically monitoring the level of C-reactive protein in said patient following administration of said compound.

42. A method for reducing *Chlamydia pneumoniae* replication in macrophages or foam cells in a patient in need thereof, said method comprising administering rifalazil to said patient in an amount effective to reduce *Chlamydia pneumoniae* replication in macrophages or foam cells in said patient, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of

rifalazil.

43. A method for treating a persistent *Chlamydia pneumoniae* infection in macrophages or foam cells in a patient, said method comprising administering rifalazil to said patient in an amount effective to treat said *Chlamydia pneumoniae* infection in macrophages or foam cells in said patient, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

44. A method for treating an infection of a bacterium having a multiplying form and a non-multiplying form, said method comprising administering to a patient (i) rifalazil; and (ii) a second antibiotic effective against the multiplying form of said bacterium, wherein said rifalazil is administered in an amount and for a duration effective to treat the non-multiplying form of said bacterium and the second antibiotic is administered in an amount and for a duration effective to treat said multiplying form of said bacterium and wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

45. The method of claim 44, wherein said antibiotic effective against said multiplying form of said bacterium is administered to said patient in an amount and for a duration to reduce the presence of said bacterium in said patient to less than about 10^6 organisms/mL; and rifalazil is then administered to said patient in an amount and for a duration effective to reduce the presence of said bacterium to or below a level indicative that said infection has been treated.

46. A method of eradicating non-multiplying bacteria not eradicated in a patient following treatment with a first antibiotic, said method comprising administering rifalazil to said patient in an amount and for a duration effective to

eradicate said non-multiplying bacteria in said patient, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

47. A method of treating a patient diagnosed as having a chronic disease associated with a bacterial infection caused by bacteria capable of establishing a non-multiplying form phase, said method comprising administering rifalazil to said patient in an amount and for a duration effective to treat said patient, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

48. A method of treating the cryptic phase of a bacterial infection, said method comprising administering rifalazil to said patient in an amount and for a duration effective to treat said cryptic phase of said bacterial infection, wherein said rifalazil is formulated in unit dosages comprising between 0.1 and 5 mg of rifalazil.

49. A pharmaceutical formulation comprising rifalazil, wherein said formulation is packaged with a label or package insert providing instructions for the use of said formulation, said instructions describing administration of said rifalazil using a loading-dose regimen.

50. The pharmaceutical formulation of claim 49, wherein said formulation is provided in a prepackaged therapeutic regimen comprising:

a) a first dosage unit comprising rifalazil;
b) a second dosage unit comprising a smaller dose of rifalazil than said first dosage unit;
c) instructions for the administration of said first dosage unit prior to said second dosage unit; and

d) a pharmaceutical dispensing container prefilled with said dosage units and incorporating said instructions.

51. The prepackaged regimen of claim 50, wherein said second dosage unit comprises between 0.1 and 5.0 mg of rifalazil.